

CLAIMS:

1. A lipophilic conjugate comprising a peptide coupled to a fatty acid, the peptide having a net positive charge that is equal or greater than +1 comprising at least 5 two positively charged amino acid residues, said peptide after conjugation to the fatty acid having at least one activity selected from the group consisting of antibacterial, antifungal, and anticancer activity, wherein the activity after conjugation being higher than prior to conjugation, a cyclic analog, or a salt thereof.
- 10 2. The conjugate according to claim 1, wherein the peptide is selected from all L-amino acid peptides, all D-amino acid peptides, and diastereomeric peptides.
- 15 3. The conjugate according to claim 2, wherein the diastereomeric peptide comprises at least one third amino acid residues in the D-configuration.
4. The conjugate according to claim 1, wherein the peptide comprises at least two amino acid residues.
- 20 5. The conjugate according to claim 1, wherein the fatty acid is selected from saturated, unsaturated, monounsaturated and polyunsaturated fatty acids.
6. The conjugate according to claim 5, wherein the fatty acid consists of at least eight carbon atoms.
- 25 7. The conjugate according to claim 6, wherein the fatty acid is selected from the group consisting of decanoic acid, undecanoic acid, dodecanoic acid, myristic acid, palmitic acid, stearic acid, arachidic acid, lignoceric acid, palmitoleic acid, oleic acid, linoleic acid, linolenic acid, arachidonic acid, trans-hexadecanoic acid, 30 elaidic acid, lactobacillic acid, tuberculostearic acid, and cerebronic acid.
8. The conjugate according to claim 1, wherein the peptide comprises a lysine di-

peptide, lysine tri-peptide, or a lysine tetra-peptide.

9. The conjugate according to claim 1, wherein the peptide comprises at least two positively charged amino acids selected from the group consisting of arginine, histidine, lysine, and combinations thereof and a hydrophobic amino acid selected from the group consisting of leucine, valine, alanine, isoleucine, glycine, and a combination thereof.

5 10. The conjugate according to claim 9, wherein the peptide comprises at least two lysine residues and a hydrophobic amino acid selected from the group consisting of leucine, valine, alanine, isoleucine, and glycine.

15 11. The conjugate according to claim 9, wherein the peptide comprises leucine and at least two positively charged amino acids selected from arginine or histidine.

12. The conjugate according to claim 9, wherein the peptide comprises leucine and a combination of at least two positively charged amino acids selected from the group consisting of lysine, arginine, and histidine.

20 13. The conjugate according to claim 1, wherein the peptide comprises at least two positively charged amino acids and a combination of hydrophobic and non-hydrophobic amino acids.

14. The conjugate according to claim 1, wherein the peptide comprises at least two 25 positively charged amino acid and a negatively charged amino acid.

15. The conjugate according to any one of claims 1 to 14 selected from the lipopeptides set forth in SEQ ID NOS: 1 to 38.

30 16. The conjugate according to any one of claims 1 to 15, wherein the peptide is a cyclic analog.

17. The conjugate according to claim 16 selected from the lipophilic conjugates set forth

in SEQ ID NOS: 39 to 46.

18. A pharmaceutical composition comprising as an active ingredient a lipophilic conjugate comprising a peptide coupled to a fatty acid, the peptide having a net positive charge that is equal or greater than +1 comprising at least two positively charged amino acid residues, said peptide after conjugation to the fatty acid having at least one activity selected from the group consisting of antibacterial, antifungal, and anticancer activity, wherein the activity after conjugation being higher than prior to conjugation, a cyclic analog, or a salt thereof.
- 10 19. The pharmaceutical composition according to claim 18, wherein the peptide is selected from all L-amino acid peptides, all D-amino acid peptides, and diastereomeric peptides.
- 15 20. The pharmaceutical composition according to claim 19, wherein the diastereomeric peptide comprises at least one third amino acid residues in the D-configuration.
- 20 21. The pharmaceutical composition according to claim 18, wherein the peptide comprises at least two amino acid residues.
- 25 22. The pharmaceutical composition according to claim 18, wherein the fatty acid is selected from saturated, unsaturated, monounsaturated and polyunsaturated fatty acids.
- 30 23. The pharmaceutical composition according to claim 22, wherein the fatty acid consists of at least eight carbon atoms.
24. The pharmaceutical composition according to claim 23, wherein the fatty acid is selected from the group consisting of decanoic acid, undecanoic acid, dodecanoic acid, myristic acid, palmitic acid, stearic acid, arachidic acid, lignoceric acid, palmitoleic acid, oleic acid, linoleic acid, linolenic acid, arachidonic acid, trans-hexadecanoic acid, elaidic acid, lactobacillic acid, tuberculostearic acid, and cerebronic acid.

25. The pharmaceutical composition according to claim 18, wherein the peptide comprises a lysine di-peptide, lysine tri-peptide, or a lysine tetra-peptide.
- 5 26. The pharmaceutical composition according to claim 18, wherein the peptide comprises at least two positively charged amino acids selected from the group consisting of arginine, histidine, lysine, and a combination thereof and a hydrophobic amino acid selected from the group consisting of leucine, valine, alanine, isoleucine, glycine, and a combination thereof.
- 10 27. The pharmaceutical composition according to claim 26, wherein the peptide comprises at least two lysine residues and a hydrophobic amino acid selected from the group consisting of leucine, valine, alanine, isoleucine, and glycine.
- 15 28. The pharmaceutical composition according to claim 26, wherein the peptide comprises leucine and at least two positively charged amino acids selected from arginine or histidine.
- 20 29. The pharmaceutical composition according to claim 26, wherein the peptide comprises leucine and a combination of at least two positively charged amino acids selected from lysine, arginine, and histidine.
- 25 30. The pharmaceutical composition according to claim 18, wherein the peptide comprises at least two positively charged amino acids and a combination of hydrophobic and non-hydrophobic amino acids.
- 30 31. The pharmaceutical composition according to claim 18, wherein the peptide comprises at least two positively charged amino acids and a negatively charged amino acid.
32. The pharmaceutical composition according to any one of claims 18 to 31, wherein the lipophilic conjugate is selected from the lipopeptides set forth in SEQ ID NOS: 1 to 38.

33. The pharmaceutical composition according to any one of claim 18 to 32, wherein the peptide is a cyclic analog.
- 5 34. The pharmaceutical composition according to claim 33, wherein the conjugate is selected from the lipophilic conjugates set forth in SEQ ID NOS: 39 to 46.
- 10 35. The pharmaceutical composition according to claim 18 formulated in a form of a solution, colloidal dispersion, cream, lotion, gel, foam, emulsion, spray, aerosol or an ointment.
36. A veterinary composition comprising a lipophilic conjugate according to any one of claims 1 to 17 for veterinary use.
- 15 37. A food preservative composition comprising a lipophilic conjugate according to any one of claims 1 to 17 for food preservation.
38. A disinfecting composition comprising a lipophilic conjugate according to any one of claims 1 to 17 for sterilization.
- 20 39. A method for treating an infection in a subject comprising administering to a subject in need thereof a therapeutically effective amount of a pharmaceutical composition comprising as an active ingredient a lipophilic conjugate comprising a peptide coupled to a fatty acid, the peptide having a net positive charge that is equal or greater than +1 comprising at least two positively charged amino acid residues, said peptide after conjugation to the fatty acid having at least one activity selected from the group consisting of antibacterial, antifungal, and anticancer activity, wherein the activity after conjugation being higher than prior to conjugation, a cyclic analog, or a salt thereof.
- 25 40. The method according to claim 39, wherein administering the pharmaceutical composition to the subject is selected from topical, intravenous, intraarterial, intramuscular, intraperitoneal, oral, ophthalmic, nasal, vaginal, rectal, and
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intralesional administration.

41. The method according to claim 40, wherein administering the pharmaceutical composition is by topical administration.

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42. The method according to claim 39, wherein the infection caused by pathogenic organisms.

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43. The method according to claim 42, wherein the infection is a bacterial infection.

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44. The method according to claim 43, wherein the bacterial infection caused by antibiotic-resistant bacteria.

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45. The method according to claim 44, wherein the antibiotic-resistant bacteria are selected from *Streptococcus pyogenes* and *Staphylococcus aureus*.

46. The method according to claim 42, wherein the infection is a fungal infection.

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47. The method according to claim 39, wherein the infection is selected from acne, fungal infections of the scalp, fungal infections related to traumatic wounds, bacterial infections related to traumatic wounds, poorly healing skin lesions, eye infections, ear infections, and burn wounds.

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48. A method for treating cancer in a subject comprising administering to a subject in need thereof a therapeutically effective amount of a pharmaceutical composition comprising as an active ingredient a lipophilic conjugate comprising a peptide coupled to a fatty acid, the peptide having a net positive charge that is equal or greater than +1 comprising at least two positively charged amino acid residues, said peptide after conjugation to the fatty acid having at least one activity selected from the group consisting of antibacterial, antifungal, and anticancer activity, wherein the activity after conjugation being higher than prior to conjugation, a cyclic analog, or a salt thereof.

49. The method according to claim 48, wherein the cancer is selected from the group consisting of solid tumors and non-solid tumors.
50. The method according to claim 49, wherein the cancer is selected from the group consisting of skin cancer, breast cancer, colorectal cancer, prostate cancer, brain cancer, head and neck cancer, testicular cancer, ovarian cancer, pancreatic cancer, lung cancer, liver cancer, kidney cancer, bladder cancer, gastrointestinal cancer, bone cancer, endocrine system cancers, lymphatic system cancers, astrocytoma, 10 gliodendrolioma, meningioma, neuroblastoma, glioblastoma, ependymoma, Schwannoma, neurofibrosarcoma, neuroblastoma, medullablastoma, fibrosarcoma, epidermoid carcinoma, and leukemia.
51. The method according to claim 48, wherein administering the pharmaceutical composition to the subject is selected from topical, intravenous, intraarterial, 15 intramuscular, intraperitoneal, oral, ophthalmic, nasal, vaginal, rectal, intralesional administration, administering into the tumor, and administering adjacent to the tumor.
52. The method according to claim 51, wherein administering the pharmaceutical 20 composition is into the tumor or adjacent to the tumor.
53. A method for disinfecting an object comprising contacting the object with a disinfecting composition, the composition comprising as an active ingredient a 25 lipophilic conjugate comprising a peptide coupled to a fatty acid, the peptide having a net positive charge that is equal or greater than +1 comprising at least two positively charged amino acid residues, said peptide after conjugation to the fatty acid having at least one activity selected from the group consisting of antibacterial, antifungal, and anticancer activity, wherein the activity after conjugation being higher than prior to conjugation, a cyclic analog, or a salt 30 thereof.
54. The method according to claim 53, wherein the object is selected from the group consisting of tissue culture equipment, tissue culture media, tissue culture

incubators, tissue culture hoods, and tissue culture dishes.

55. The method according to claim 53, wherein the object is selected from medical and surgical equipment.

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